

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
31 December 2003 (31.12.2003)

PCT

(10) International Publication Number
WO 2004/000808 A3

(51) International Patent Classification⁷: **C07D 405/06**,
405/14, 211/58, A61K 31/4468, A61P 25/28

(21) International Application Number:
PCT/US2003/019797

(22) International Filing Date: 20 June 2003 (20.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/391,269 24 June 2002 (24.06.2002) US

(71) Applicant: ACADIA PHARMACEUTICALS INC.
[US/US]; 3911 Sorrento Valley Blvd., San Diego, CA
92121 (US).

(72) Inventors: ANDERSSON, Carl-Magnus; Feriev. 3,
S-24564 Hjarup (SE). SCHLIENGER, Nathalie; Fal-
stersvej 2, 1tv, DK-2000 Frederiksberg (DK). FEJZIC,
Alma; Borgmester Fischers Vej 5C, 4.1, DK-2000 Fred-
eriksberg (DK). HANSEN, Eva, Louise; Almegards Alle
1, DK-4573 Højby (DK). PAWLAS, Jan; Holger Danskes
Vej 26, st.th., DK-2000 Frederiksberg (DK).

(74) Agent: DELANEY, Karoline, A.; Knobbe, Martens,
Olson & Bear, LLP, 2040 Main Street, Fourteenth Floor,
Irvine, CA 92614 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ (utility model), CZ, DE (utility model), DE, DK (utility
model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI
(utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK
(utility model), SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,
UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

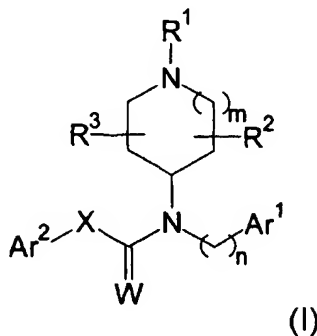
Published:

— with international search report

(88) Date of publication of the international search report:
25 March 2004

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: N-SUBSTITUTED PIPERIDINE DERIVATIVES AS SEROTONIN RECEPTOR AGENTS



(57) Abstract: Disclosed herein are compounds of Formula I, or a pharmaceutically acceptable salt, amide, ester, or prodrug thereof. Also disclosed are methods of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compounds of Formula I. Disclosed are also methods of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compounds of Formula I. Furthermore, methods of treating psychotic disease using a compound of Formula I are disclosed.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/19797

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D405/06 C07D405/14 C07D211/58 A61K31/4468 A61P25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01 66521 A (ULDAM A K ;HANSEN E L (DK); ANDERSSON CARL M (DK); CROSTON GLENN () 13 September 2001 (2001-09-13) claim 1; examples 5,6	1-3,5, 7-14, 25-35
Y	the whole document	1-35
Y	FR 2 802 206 A (SOD CONSEILS RECH APPLIC) 15 June 2001 (2001-06-15) page 3, line 12; claim 1	1-35
	--- -/-- ---	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *8* document member of the same patent family

Date of the actual completion of the international search

26 November 2003

Date of mailing of the international search report

03/12/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Timmermans, M

INTERNATIONAL SEARCH REPORT

Internatio pplication No

PCT/US 03/19797

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>KALGUTKAR A S ET AL: "SELECTIVE INHIBITORS OF MONOAMINE OXIDASE (MAO-A AND MAO-B) AS PROBES OF ITS CATALYTIC SITE AND MECHANISM" MEDICINAL RESEARCH REVIEWS, NEW YORK, NY, US, vol. 15, no. 4, 1995, pages 325-388, XP002034298 ISSN: 0198-6325 the whole document -----</p>	1-35

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 03/19797

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 25-35 are directed to a method of treatment of the human body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Internatio pplication No

PCT/US 03/19797

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0166521	A	13-09-2001	AU 4007201 A	17-09-2001
			CA 2397981 A1	13-09-2001
			CN 1443167 T	17-09-2003
			EP 1263729 A1	11-12-2002
			JP 2003531829 T	28-10-2003
			WO 0166521 A1	13-09-2001
			US 2002004513 A1	10-01-2002
FR 2802206	A	15-06-2001	FR 2802206 A1	15-06-2001
			AU 2856001 A	25-06-2001
			CA 2394086 A1	21-06-2001
			CN 1409703 T	09-04-2003
			EP 1286966 A1	05-03-2003
			WO 0144191 A1	21-06-2001
			HU 0204515 A2	28-04-2003
			JP 2003516965 T	20-05-2003
			NZ 520071 A	30-06-2003